U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

5-555/

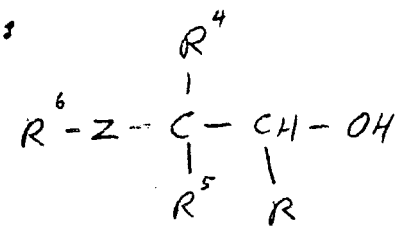
Requestor's Name: Mark Clardy Serial Number: 08/537,843

Date: 5/20/97 Phone: 308-4550 Art Unit: 1209

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).

Compounds:



R = -CHO
-COO-

R^4 = phenyl, naphthyl, het

R^5 = H
Alk (-/=/≡/cyclo)
OH

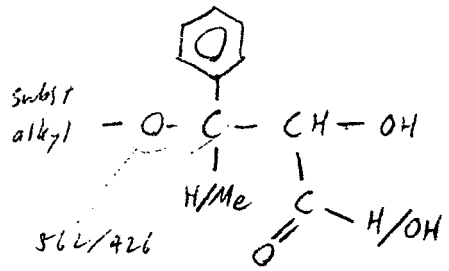
R^6 = Alk (-/=/≡/cyclo)

Z = S, O

Proviso:
R^6 may not be unsubstituted alkyl when —
[R^4 = phenyl or 4-i-Bu-phenyl
Z = O
R^5 = H or Me

(See full definitions for R^4, R^5 R^6, attached)

Simplest structure:



Aldehyde:
-S-: 568/41
S: 562/426
-O-: 1496 O: 1470

5491 < furyl, thienyl
5461 pyridyl
5481 thiazolyl, isoxazolyl, imidazolyl, pyrazolyl

O	1449, 1495
S	179
1 N	1340, 1341
S N	1.3-1204
O N	1.2-1248
2 N	1.3-1341.5
2 N	1.2-1376.1

-pup 13

gdl: 544/

Face of file attached.

4

STAFF USE ONLY

Date completed: 5-22-97
Searcher: Rex
Terminal time:
Elapsed time:
CPU time:
Total time:
Number of Searches:
Number of Databases:

Search Site
- ___ STIC
- x CM-1
- ___ Pre-S

Type of Search
- ___ N.A. Sequence
- ___ A.A. Sequence
- ___ Structure
- ___ Bibliographic

Vendors
- ___ IG Suite
- x STN
- ___ Dialog
- ___ APS
- ___ Geninfo
- ___ SDC
- ___ DARC/Questel
- ___ Other

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=> d his

     (FILE 'HCAPLUS' ENTERED AT 09:49:52 ON 22 MAY 1997)
               DEL HIS Y

     FILE 'REGISTRY' ENTERED AT 09:50:12 ON 22 MAY 1997
               ACT CLARDY/A
              ---------
L1              STR
L2              SCR 1701 OR 1192
L3              SCR 1700 AND 497 AND 1834 AND 2005 AND 1838
L4           22 SEA FILE=REGISTRY SSS FUL L1 AND L3 AND L2
              ---------

     FILE 'HCAPLUS' ENTERED AT 09:50:46 ON 22 MAY 1997
L5           18 S L4

     FILE 'CAOLD' ENTERED AT 09:50:53 ON 22 MAY 1997
L6            3 S L4

     FILE 'REGISTRY' ENTERED AT 09:51:01 ON 22 MAY 1997

=> fil reg
FILE 'REGISTRY' ENTERED AT 09:51:12 ON 22 MAY 1997
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 1997 American Chemical Society (ACS)

STRUCTURE FILE UPDATES:  16 MAY 97  HIGHEST RN 189123-98-6
DICTIONARY FILE UPDATES: 21 MAY 97  HIGHEST RN 189123-98-6

TSCA INFORMATION NOW CURRENT THROUGH DECEMBER 1996

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

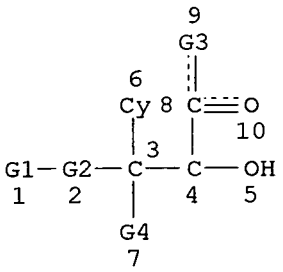
=> d his l1-l4

     (FILE 'HCAPLUS' ENTERED AT 09:49:52 ON 22 MAY 1997)
               DEL HIS Y

     FILE 'REGISTRY' ENTERED AT 09:50:12 ON 22 MAY 1997
               ACT CLARDY/A
              ---------
L1              STR
L2              SCR 1701 OR 1192
L3              SCR 1700 AND 497 AND 1834 AND 2005 AND 1838
L4           22 SEA FILE=REGISTRY SSS FUL L1 AND L3 AND L2

=> d que stat l4
L1              STR
```

o



VAR G1=AK/CB
VAR G2=O/S
VAR G3=OH/H
VAR G4=H/C/CB
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY AT 6
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 10

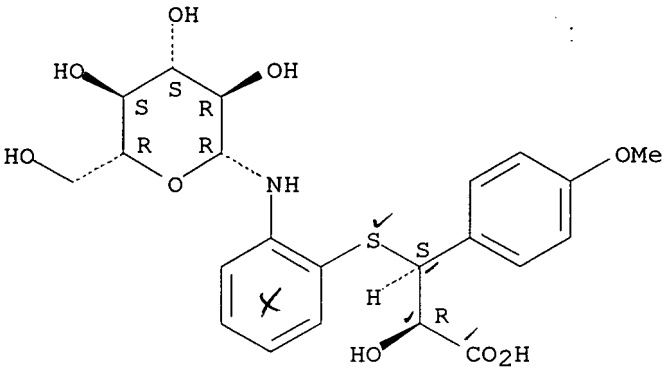
STEREO ATTRIBUTES: NONE
L2 SCR 1701 OR 1192
L3 SCR 1700 AND 497 AND 1834 AND 2005 AND 1838
L4 22 SEA FILE=REGISTRY SSS FUL L1 AND L3 AND L2

100.0% PROCESSED 81743 ITERATIONS 22 ANSWERS
SEARCH TIME: 00.01.22

=> d ide can 14 1-22

L4 ANSWER 1 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 161023-70-7 REGISTRY
CN Benzenepropanoic acid, .beta.-[[2-(.beta.-D-glucopyranosylamino)phenyl]thio]-.alpha.-hydroxy-4-methoxy-, monosodium salt, [S-(R*,S*)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H27 N O9 S . Na
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).



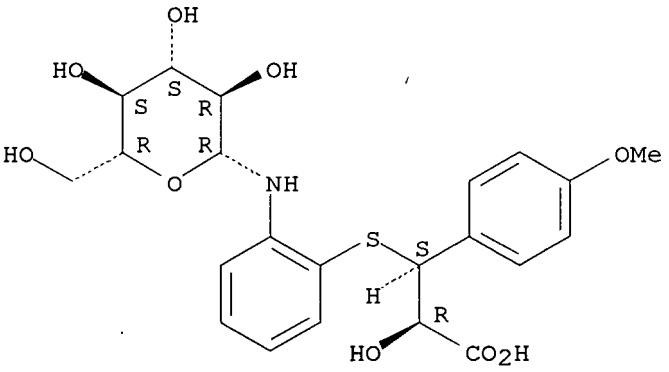
● Na

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:160270

L4 ANSWER 2 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 161023-68-3 REGISTRY
CN Benzenepropanoic acid, .beta.-[[2-(.beta.-D-glucopyranosylamino)phenyl]thio]-.alpha.-hydroxy-4-methoxy-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H27 N O9 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).

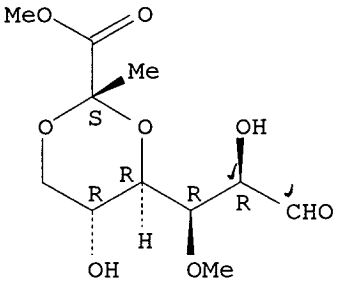


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:160270

L4 ANSWER 3 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 156626-51-6 REGISTRY
CN D-Glucose, 4,6-O-(2-methoxy-1-methyl-2-oxoethylidene)-3-O-methyl-, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C11 H18 O8
SR CA
LC STN Files: CA, CAPLUS

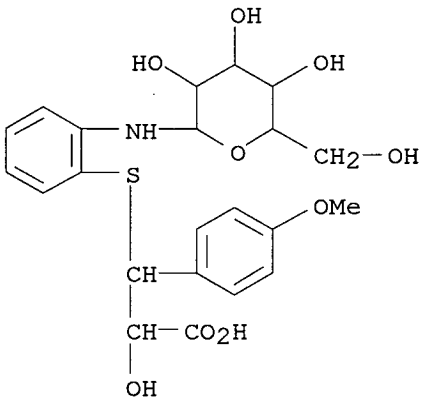
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 121:109442

L4 ANSWER 4 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 147511-68-0 REGISTRY
CN Benzenepropanoic acid, .beta.-[[2-(D-glucopyranosylamino)phenyl]thio]-.alpha.-hydroxy-4-methoxy-, monosodium salt, [S-(R*,R*)]- (9CI) (CA INDEX NAME)
MF C22 H27 N O9 S . Na
SR CA
LC STN Files: CA, CAPLUS

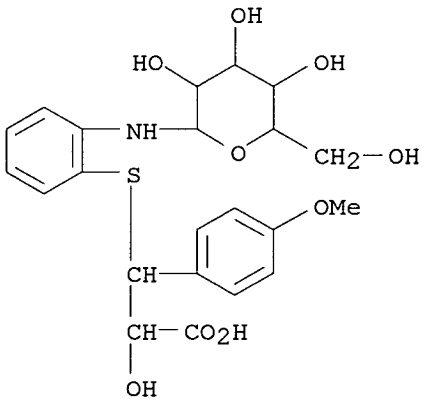


● Na

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:233780

L4 ANSWER 5 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 147364-23-6 REGISTRY
CN Benzenepropanoic acid, .beta.-[[2-(D-glucopyranosylamino)phenyl]thio]-.alpha.-hydroxy-4-methoxy-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)
MF C22 H27 N O9 S
SR CA
LC STN Files: CA, CAPLUS

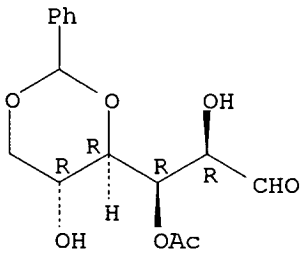


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:233780

L4 ANSWER 6 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 146942-12-3 REGISTRY
CN D-Glucose, 4,6-O-(phenylmethylene)-, 3-acetate (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H18 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.

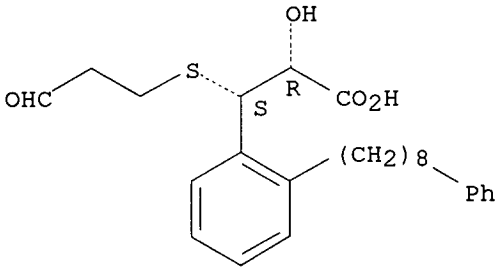


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:192109

L4 ANSWER 7 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 140646-83-9 REGISTRY
CN Benzenepropanoic acid, .alpha.-hydroxy-.beta.-[(3-oxopropyl)thio]-2-(8-phenyloctyl)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H34 O4 S
SR CA
LC STN Files: CA, CAPLUS, TOXLIT, USPATFULL

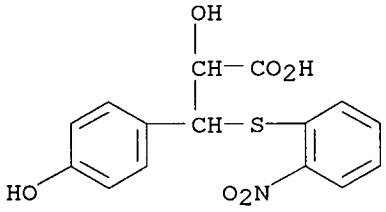
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:14418

L4 ANSWER 8 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 128305-69-1 REGISTRY
CN Benzenepropanoic acid, .alpha.,4-dihydroxy-.beta.-[(2-nitrophenyl)thio]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C15 H13 N O6 S
SR CA
LC STN Files: CA, CAPLUS



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

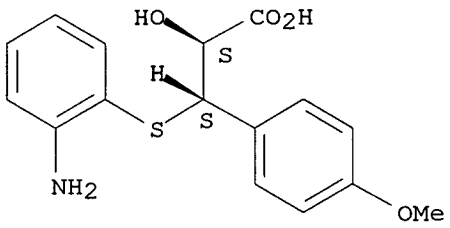
REFERENCE 1: 113:76603

L4 ANSWER 9 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 127981-91-3 REGISTRY
CN Benzenepropanoic acid, .beta.-[(2-aminophenyl)thio]-.alpha.-hydroxy-4-methoxy-, [S-(R*,R*)]-, compd. with [S-(R*,R*)]-2-amino-1-[4-(methylthio)phenyl]-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,3-Propanediol, 2-amino-1-[4-(methylthio)phenyl]-, [S-(R*,R*)]-, [S-(R*,R*)]-.beta.-[(2-aminophenyl)thio]-.alpha.-hydroxy-4-methoxybenzenepropanoate (salt) (9CI)
FS STEREOSEARCH
MF C16 H17 N O4 S . C10 H15 N O2 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 42399-48-4
CMF C16 H17 N O4 S

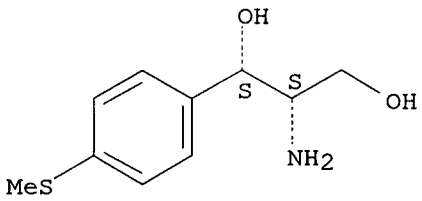
Absolute stereochemistry.



CM 2

CRN 16854-32-3
CMF C10 H15 N O2 S

Absolute stereochemistry.



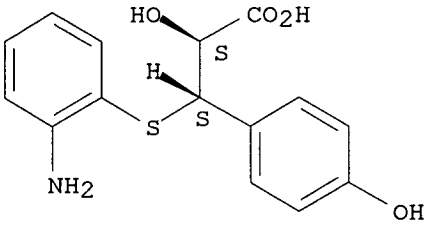
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:40161

L4 ANSWER 10 OF 22 REGISTRY COPYRIGHT 1997 ACS

RN 120433-69-4 REGISTRY
CN Benzenepropanoic acid, .beta.-[(2-aminophenyl)thio]-.alpha.,4-dihydroxy-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H15 N O4 S
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

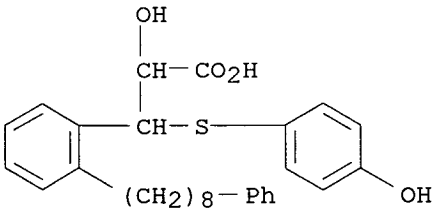
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 110:219194

L4 ANSWER 11 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 120427-55-6 REGISTRY
CN Benzenepropanoic acid, .alpha.-hydroxy-.beta.-[(4-hydroxyphenyl)thio]-2-(8-phenyloctyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H34 O4 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

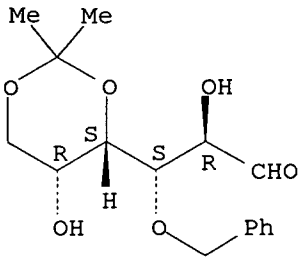
REFERENCE 1: 115:49105

REFERENCE 2: 110:212373

L4 ANSWER 12 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 112670-08-3 REGISTRY
CN D-Gulose, 4,6-O-(1-methylethylidene)-3-O-(phenylmethyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH

MF C16 H22 O6
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.

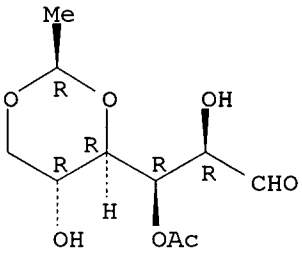


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 108:75759

L4 ANSWER 13 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 105453-42-7 REGISTRY
CN D-Glucose, 4,6-O-ethylidene-, 3-acetate, (R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C10 H16 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT

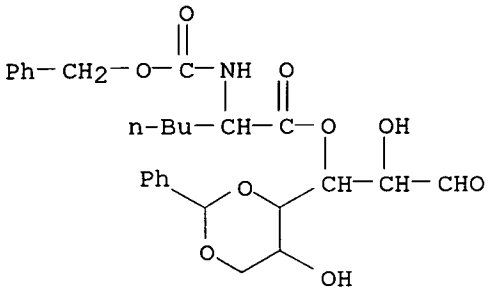
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 105:227147

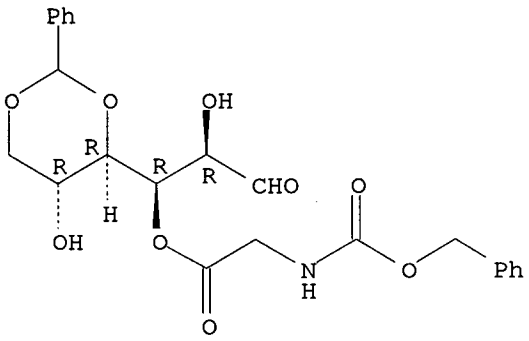
L4 ANSWER 14 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 103101-90-2 REGISTRY
CN D-Glucose, 4,6-O-benzylidene-, 3-ester with N-carboxy-DL-norleucine N-benzyl ester (7CI) (CA INDEX NAME)
MF C27 H33 N O9
SR CAOLD
LC STN Files: CAOLD



2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L4 ANSWER 15 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 101173-91-5 REGISTRY
CN D-Glucose, 4,6-O-benzylidene-, 3-ester with N-carboxyglycine N-benzyl ester (7CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H25 N O9
SR CAOLD
LC STN Files: CAOLD

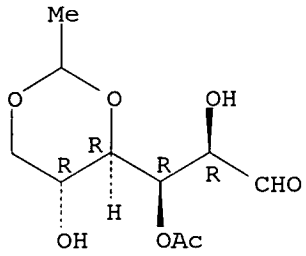
Absolute stereochemistry.



2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L4 ANSWER 16 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 100021-32-7 REGISTRY
CN D-Glucose, 4,6-O-ethylidene-, 3-acetate (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C10 H16 O7
SR CA
LC STN Files: CA, CAPLUS, CASREACT, CJACS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

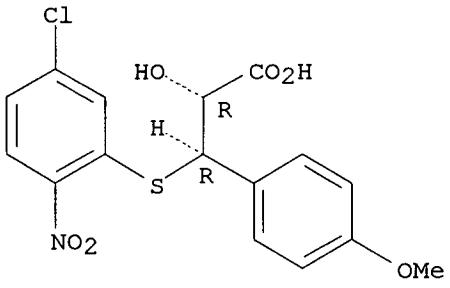
REFERENCE 1: 104:110035

L4 ANSWER 17 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 96192-70-0 REGISTRY
CN Benzenepropanoic acid, .beta.-[(5-chloro-2-nitrophenyl)thio]-.alpha.-hydroxy-4-methoxy-, [R-(R*,R*)]-, compd. with (S)-2,6-diaminohexanal (1:1) (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Hexanal, 2,6-diamino-, (S)-, compd. with [R-(R*,R*)]-.beta.-[(5-chloro-2-nitrophenyl)thio]-.alpha.-hydroxy-4-methoxybenzenepropanoic acid (1:1) (9CI)
FS STEREOSEARCH
MF C16 H14 Cl N O6 S . C6 H14 N2 O
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 96125-23-4
CMF C16 H14 Cl N O6 S

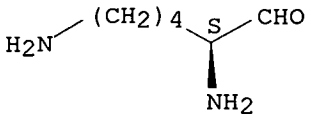
Absolute stereochemistry.



CM 2

CRN 21653-99-6
CMF C6 H14 N2 O

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

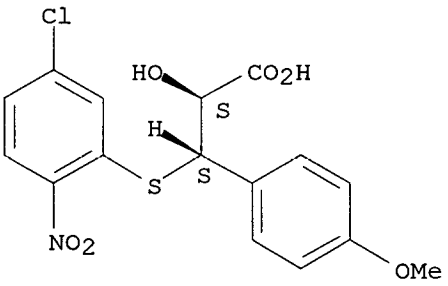
REFERENCE 1: 103:142026

L4 ANSWER 18 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 96192-69-7 REGISTRY
CN Benzenepropanoic acid, .beta.-[(5-chloro-2-nitrophenyl)thio]-.alpha.-hydroxy-4-methoxy-, [S-(R*,R*)]-, compd. with (S)-2,6-diaminohexanal (1:1) (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Hexanal, 2,6-diamino-, (S)-, compd. with [S-(R*,R*)]-.beta.-[(5-chloro-2-nitrophenyl)thio]-.alpha.-hydroxy-4-methoxybenzenepropanoic acid (1:1) (9CI)
FS STEREOSEARCH
MF C16 H14 Cl N O6 S . C6 H14 N2 O
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 96125-22-3
CMF C16 H14 Cl N O6 S

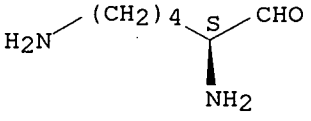
Absolute stereochemistry.



CM 2

CRN 21653-99-6
CMF C6 H14 N2 O

Absolute stereochemistry.

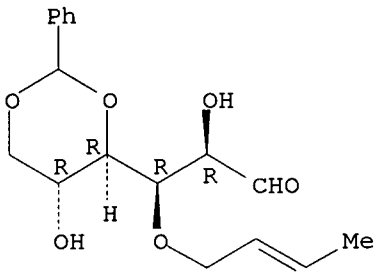


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 103:142026

L4 ANSWER 19 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 83158-08-1 REGISTRY
CN D-Glucose, 3-O-2-butenyl-4,6-O-(phenylmethylene)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H22 O6
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry unknown.

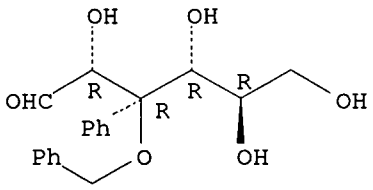


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 97:145161

L4 ANSWER 20 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 75847-75-5 REGISTRY
CN D-Allose, 3-C-phenyl-3-O-(phenylmethyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H22 O6

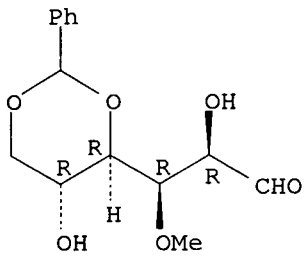
Absolute stereochemistry.



L4 ANSWER 21 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 55651-99-5 REGISTRY
CN D-Glucose, 3-O-methyl-4,6-O-(phenylmethylene)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C14 H18 O6

LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 109:149900

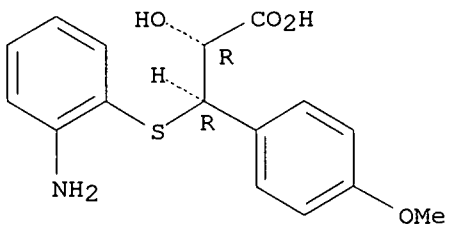
REFERENCE 2: 82:156596

L4 ANSWER 22 OF 22 REGISTRY COPYRIGHT 1997 ACS
RN 42399-56-4 REGISTRY
CN Benzenepropanoic acid, .beta.-[(2-aminophenyl)thio]-.alpha.-hydroxy-4-methoxy-, [R-(R*,R*)]-, compd. with (R)-4-[1-hydroxy-2-(methylamino)ethyl]-1,2-benzenediol (1:1) (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,2-Benzenediol, 4-[1-hydroxy-2-(methylamino)ethyl]-, (R)-, [R-(R*,R*)]-.beta.-[(2-aminophenyl)thio]-.alpha.-hydroxy-4-methoxybenzenepropanoate (salt) (9CI)
FS STEREOSEARCH
MF C16 H17 N O4 S . C9 H13 N O3
LC STN Files: CA, CAPLUS

CM 1

CRN 42399-50-8
CMF C16 H17 N O4 S

Absolute stereochemistry.

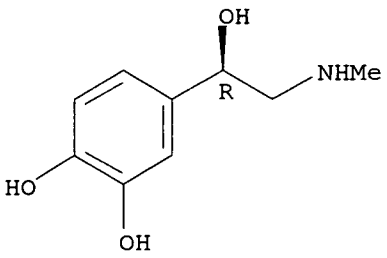


CM 2

CRN 51-43-4

CMF C9 H13 N O3

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 79:66331

=> fil hcaplus
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FILE COVERS 1967 - 22 May 1997 VOL 126 ISS 21
FILE LAST UPDATED: 22 May 1997 (970522/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.
'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> d his l5

(FILE 'REGISTRY' ENTERED AT 09:50:12 ON 22 MAY 1997)

FILE 'HCAPLUS' ENTERED AT 09:50:46 ON 22 MAY 1997
L5 18 S L4

=> d .ca l5 1-18

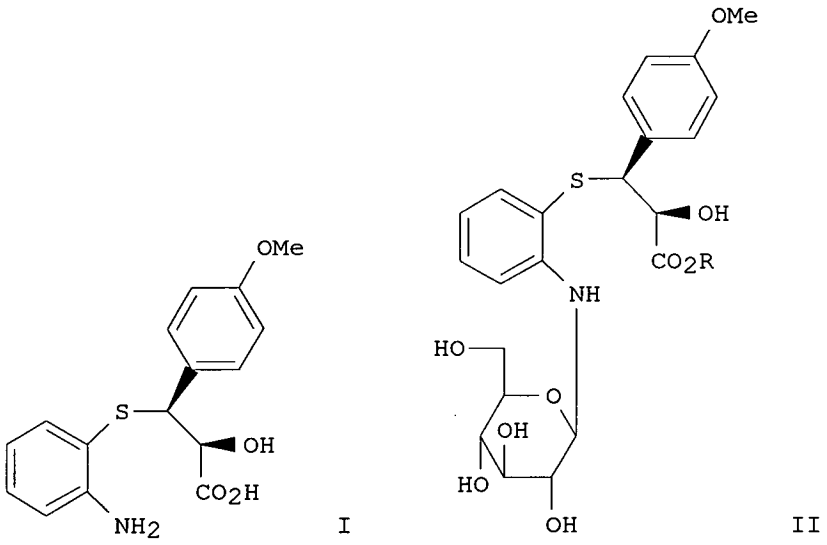
L5 ANSWER 1 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1995:356912 HCAPLUS
DN 122:160270
TI Method for preparation of D-threo-2-hydroxy-3-(2-aminophenylthio)-3-

(4-methoxyphenyl)propionic acid via resolution as an N-glycoside

IN Grynkiewicz, Grzegorz; Gawronski, Jacek; Malinowska, Iwona; Palanowski, Ryszard
PA Instytut Farmaceutiyczny, Pol.

SO Pol., 4 pp.
CODEN: POXXA7
PI PL 162457 B1 931231
AI PL 90-284716 900410
DT Patent
LA Polish
OS CASREACT 122:160270

GI



AB Title acid D-I, an intermediate for the drug diltiazem, is prepd. by a new method. The method involves reaction of racemic D,L-I or its esters with D-glucose to form N-glycoside derivs., which are sepd. by crystn. to give optically pure glycosides D-II (R = H, Me, Et). The latter undergo acid hydrolysis of the glycoside and alk. hydrolysis of the ester, if present, by known methods, giving D-I. For example, a mixt. of 16.0 g D,L-I, 13.5 g D-glucose, and 3 mL AcOH in 100 mL MeOH was heated at the b.p. for 1/2 h and cooled to give cryst. D-II (R = H). This was hydrolyzed by dil. aq. HCl (pH 2) at 50.degree., and the mixt. neutralized to pH 3-4, to give 6.7 g (42% of racemate) D-I. In several addnl. examples, also using esters of D,L-I as starting materials, yields of glycosides were typically 40-45%, and hydrolysis yields were typically 80-90%.

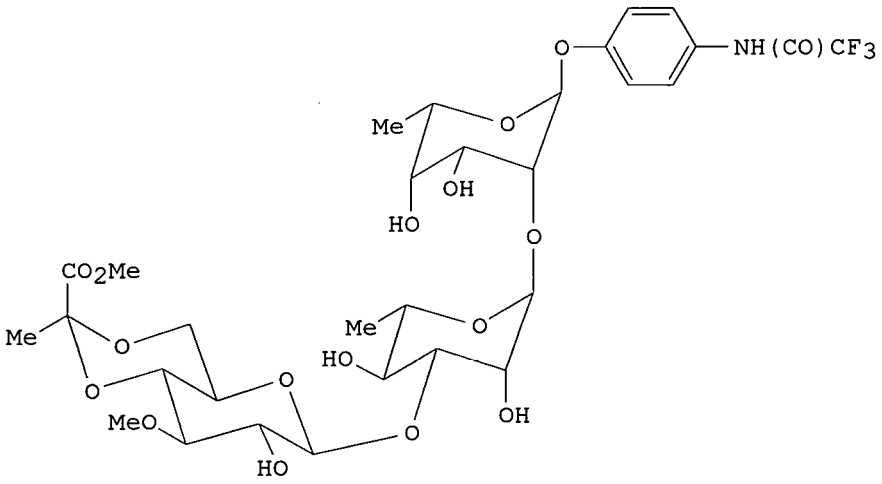
IT **161023-68-3P 161023-70-7P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; resoln. of threo-hydroxy(aminophenylthio)(methoxyphenyl)propionic acid via N-glycosides)

IC ICM C07C323-63

CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 33

IT 139748-71-3P 160949-69-9P **161023-68-3P**
161023-70-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; resoln. of threo-hydroxy(aminophenylthio)(methoxyphenyl)propionic acid via N-glycosides)

L5 ANSWER 2 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1994:509442 HCAPLUS
DN 121:109442
TI Chemical synthesis of the pyruvic acetal-containing trisaccharide unit of the species-specific glycopeptidolipid from Mycobacterium avium serovariant 8
AU Bajza, Istvan; Kerekgyarto, Janos; Hajko, Janos; Szilagyi, Laszlo; Liptak, Andras
CS Inst. Biochem., Lajos Kossuth Univ., Debrecen, H-4010, Hung.
SO Carbohydr. Res. (1994), 253, 111-20
CODEN: CRBRAT; ISSN: 0008-6215
DT Journal
LA English
OS CJELSEVIER
GI

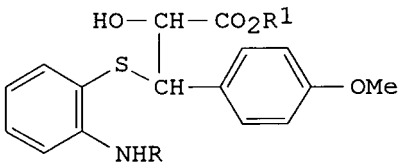


I

AB The functionalized, pyruvic acetal-contg. haptenic trisaccharide I, a component of the glycolipid from Mycobacterium avium serovar 8 was synthesized.
IT **156626-51-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in synthesis of pyruvic acetal-contg. trisaccharide unit of glycopeptidolipid of Mycobacterium avium)
CC 33-4 (Carbohydrates)
Section cross-reference(s): 34
IT 30694-99-6P 59054-68-1P 156626-35-6P 156626-36-7P
156626-37-8P 156626-38-9P 156626-39-0P 156626-40-3P
156626-41-4P 156626-42-5P 156626-43-6P 156626-44-7P
156626-45-8P 156626-46-9P 156626-47-0P 156626-48-1P

156626-49-2P **156626-51-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in synthesis of pyruvic acetal-contg. trisaccharide unit of glycopeptidolipid of Mycobacterium avium)

L5 ANSWER 3 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1993:233780 HCAPLUS
DN 118:233780
TI New optically active intermediates in the synthesis of diltiazem
AU Malinowska, Iwona; Eksanow, Kamil; Dabrowska, Jolanta; Jahn, Wanda; Jakubowski, Witold
CS Pharm. Res. Inst., Warsaw, 01793, Pol.
SO Acta Pol. Pharm. (1991), 48(3-4), 47-50
CODEN: APPHAX; ISSN: 0001-6837
DT Journal
LA English
GI

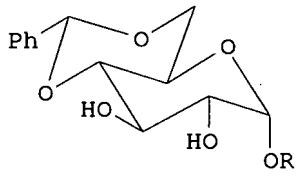


I

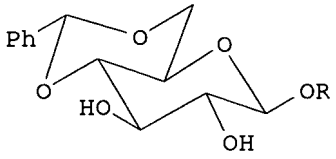
AB The racemate of the propionic acid deriv. I (R = H; R1 = H) refluxed with D-glucose in MeOH/AcOH yielded 40% (2S,3S)-I (R = glucopyranosyl, R1 = H, II), which upon acid hydrolysis gave (2S,3S)-I (R = H, R1 = H) (III). (2S,3S)-I (R = glucopyranosyl, R1 = Et) (IV) was obtained analogously and then converted by alk. hydrolysis into the Na salt of II (V) and by acid hydrolysis into III. IV and Ac2O yielded 81% (2S,3S)-I (R = Ac, R1 = Et) subsequently hydrolyzed with NaOH to (2S,3S)-I (R = Ac, R1 = Et). V and Ac2O in DMF-C5H5N gave the O-Ac deriv. of (2S,3S)-I (R = tetraacetylglucopyranosyl, R1 = H), hydrolyzed to (2S,3S)-I (R = Ac, R1 = H).
IT **147511-68-0**
RL: RCT (Reactant))
IT **147364-23-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as chiral intermediate for diltiazem)
CC 27-1 (Heterocyclic Compounds (One Hetero Atom))
IT **147511-68-0**
RL: RCT (Reactant)
IT 42399-48-4P 125411-72-5P **147364-23-6P** 147364-24-7P
147364-25-8P 147511-67-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as chiral intermediate for diltiazem)

L5 ANSWER 4 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1993:192109 HCAPLUS
DN 118:192109

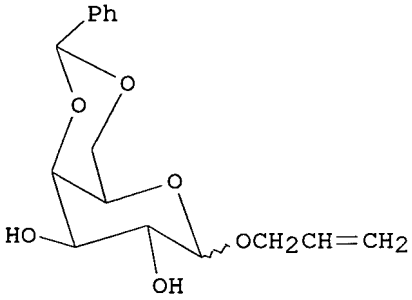
TI Selective acylation of 4,6-O-benzylidene glycopyranosides by enzymic catalysis
AU Panza, Luigi; Luisetti, Monica; Crociati, Emanuela; Riva, Sergio
CS Cent. Stud. Sost. Org. nat., CNR, Milan, 20133, Italy
SO J. Carbohydr. Chem. (1993), 12(1), 125-30
CODEN: JCACDM; ISSN: 0732-8303
DT Journal
LA English
OS CASREACT 118:192109
GI



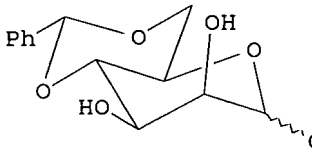
I



II



III



IV

AB Benzylidene glycosides I-IV (R = Me, allyl) were regioselectively acylated with CF3CH2O2CCH2CH2Me or AcOCH:CH2 in the prescense of lipase PS from Pseudomonas cepacia.
IT **146942-12-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, regioselectivity in)
CC 33-3 (Carbohydrates)
IT 98392-36-0P 107657-07-8P 130464-35-6P 141611-58-7P
141611-59-8P 144607-27-2P 144607-28-3P 146942-00-9P
146942-01-0P 146942-02-1P 146942-03-2P 146942-04-3P
146942-05-4P 146942-06-5P 146942-07-6P 146942-09-8P
146942-11-2P **146942-12-3P** 146942-13-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, regioselectivity in)

L5 ANSWER 5 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1992:414418 HCAPLUS
DN 117:14418
TI Antiallergic compositions containing platelet-activating factor antagonists and leukotriene D4 antagonists
IN O'Donnell, Margaret; Welton, Ann
PA Hoffmann-La Roche, F., A.-G., Switz.

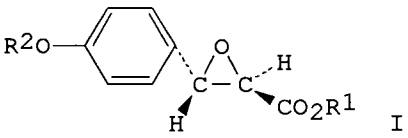
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
PI EP 469477 A1 920205
DS R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE
AI EP 91-112577 910726
PRAI US 90-561743 900802
DT Patent
LA English
AB A synergistic combination of platelet activating factor (PAF) antagonists with leukotriene D4 (LTD4) antagonists provides protection against allergic reactions, such as antigen-induced death. Guinea pigs were sensitized with an i.p. injection of ovalbumin in a saline soln. and administered with a combination of 5-[3-[4-(2-chlorophenyl)-9-methyl-6H-thieno[3,2-f]1,2,4]triazolo[4,3-a][1,4]diazepin-2-yl]-2-propynyl]phenanthridin-6(5H)-one (I) (PAF antagonist) and (E)-4-[3-[2-(4-cyclobutyl-2-thiazolyl)ethenyl]phenylamino]-2,2-diethyl-4-oxobutanoic acid (II) (LTD4 antagonist) at 1 mg/kg each before challenge with antigen; a survival rate from anaphylactic death at 120 min was 100 %, compared to 0 % for groups administered with I or II alone. Formulations contg. I and II combinations are given.
IT **140646-83-9D**, mixts. with platelet-activating factor antagonists
RL: BIOL (Biological study)
(antiallergic compns. contg.)
IC ICM A61K031-55
ICS A61K031-44
ICI A61K031-55, A61K031-425; A61K031-44, A61K031-425
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
IT 50847-11-5D, mixts. with platelet-activating factor antagonists
96566-25-5D, mixts. with platelet-activating factor antagonists
98116-53-1D, mixts. with platelet-activating factor antagonists
98193-06-7D, mixts. with platelet-activating factor antagonists
103176-67-6D, mixts. with platelet-activating factor antagonists
103177-37-3D, mixts. with platelet-activating factor antagonists
104073-72-5D, mixts. with platelet-activating factor antagonists
105350-26-3D, mixts. with platelet-activating factor antagonists
106556-34-7D, mixts. with leukotriene D4 antagonists 111974-60-8D, mixts. with platelet-activating factor antagonists 115621-84-6D, mixts. with leukotriene D4 antagonists 116289-53-3D, mixts. with leukotriene D4 antagonists 116781-15-8D, mixts. with leukotriene D4 antagonists 116953-66-3D, mixts. with leukotriene D4 antagonists 117796-52-8D, mixts. with leukotriene D4 antagonists 118314-35-5D, mixts. with platelet-activating factor antagonists 120128-20-3D, mixts. with platelet-activating factor antagonists 120555-31-9D, mixts. with leukotriene D4 antagonists 122009-61-4D, mixts. with platelet-activating factor antagonists 128312-51-6D, mixts. with platelet-activating factor antagonists 140634-85-1D, mixts. with leukotriene D4 antagonists 140634-87-3 140634-88-4 140634-89-5 140634-90-8 140646-77-1D, mixts. with leukotriene D4 antagonists 140646-78-2D, mixts. with platelet-activating factor antagonists 140646-79-3D, mixts. with platelet-activating factor antagonists 140646-80-6D, mixts. with platelet-activating factor antagonists 140646-81-7D, mixts. with platelet-activating factor antagonists 140646-82-8D, mixts. with platelet-activating factor antagonists **140646-83-9D**, mixts. with platelet-activating

factor antagonists 140646-84-0D, mixts. with platelet-activating factor antagonists 140646-85-1D, mixts. with platelet-activating factor antagonists 140667-05-6 140667-06-7 140667-07-8 140667-72-7D, mixts. with leukotriene D4 antagonists 140709-00-8D, mixts. with leukotriene D4 antagonists 140852-24-0D, mixts. with leukotriene D4 antagonists 141897-51-0D, mixts. with leukotriene D4 antagonists 141924-18-7D, mixts. with leukotriene D4 antagonists 141980-55-4D, mixts. with leukotriene D4 antagonists
RL: BIOL (Biological study)
(antiallergic compns. contg.)

L5 ANSWER 6 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1991:449105 HCAPLUS
DN 115:49105
TI Leukotriene antagonists
IN Frazee, James Simpson; Gleason, John Gerald; Hall, Ralph Floyd
PA SmithKline Beckman Corp., USA
SO Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
PI EP 403249 A1 901219
DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
AI EP 90-306438 900613
PRAI US 89-366046 890614
DT Patent
LA English
OS MARPAT 115:49105
AB RS(O)mCHR1C6H4R2-2 [I; R = aryl, aralkyl, etc.; m = 0, 2; R2 = CHX(CH2)nZ; X = OH, alkoxy; n = 0, 1, 2; Z = CO2H, CONH2, tetrazolyl, etc.; R2 = alkyl, alkoxy, aralkyl, etc.] were prepd. for the treatment of asthma. Thus, 8-phenyloctanoic acid was converted, via the alc. and bromide, to 2-[2-(8-phenyloctyl)phenyl]-4,4-dimethyloxazoline, which was quaternized and reduced to give 2-(8-phenyloctyl)benzaldehyde (II). Reaction of II with ClCH2CO2Me gave Me trans-3-[2-(8-phenyloctyl)pheny;]-2,3-epoxypropionate, which reacted with 2-mercaptobenzoic acid to give Me 2-hydroxy-3-[(2-carboxyphenyl)thio]-3-[2-(8-phenyloctyl)phenyl]propionate; sapon. of this ester gave 2-HO2CC6H4SCH[CH(OH)CO2H]C6H4(CH2)8Ph-2. Several I showed biosignificant activity against leukotriene D4 in contraction tests with guinea pig tracheal tissue in vitro.
IT **120427-55-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as leukotriene antagonist)
IC ICM C07C317-46
ICS C07C323-62; C07C323-56; C07D311-24; A61K031-19; A61K031-215; A61K031-35
CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1
IT 107023-41-6P **120427-55-6P** 120427-56-7P 120427-58-9P
120427-59-0P 120427-60-3P 120427-61-4P 120427-62-5P
120427-63-6P 120427-64-7P 120427-65-8P 120427-66-9P
120427-67-0P 120427-68-1P 120457-38-7P 134511-28-7P
134511-29-8P 134511-30-1P 134511-31-2P 134511-32-3P
134511-33-4P 134511-34-5P 134511-35-6P 134590-76-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); USES (Uses)
(prepn. of, as leukotriene antagonist)

L5 ANSWER 7 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1990:476603 HCAPLUS
DN 113:76603
TI Enzymic resolution of racemic phenylglycidic acid esters in the manufacture of diltiazem
IN Hulshof, Lumbertus Albregt; Roskam, Jan Hendrik
PA Stamicarbon B. V., Neth.
SO Eur. Pat. Appl., 9 pp.
CODEN: EPXXDW
PI EP 343714 A1 891129
DS R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE
AI EP 89-201236 890517
PRAI NL 88-1311 880520
DT Patent
LA English
OS MARPAT 113:76603
GI

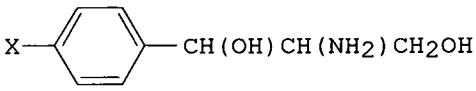


I

AB Racemic phenylglycidate esters ((I), R1 = alkyl; R2 = H, alkyl) used as intermediates in the synthesis of the vasodilator diltiazem are stereospecifically hydrolyzed by microbial hydrolases. The (2R, 3S) ester that remains is then derivatized with an oxirane ring-opening reagent for further processing. Racemic trans-Et (p-methoxyphenyl) glycidate at 99% e.e was recovered.
IT **128305-69-1P**
RL: PREP (Preparation)
(optically pure, prepn. of, enzymic resoln. of racemic phenylglycidic acid esters for, diltiazem synthesis in relation to)
IC ICM C12P041-00
ICS C12P017-02; C07D303-48; C07D281-10
CC 16-2 (Fermentation and Bioindustrial Chemistry)
IT **128305-69-1P**
RL: PREP (Preparation)
(optically pure, prepn. of, enzymic resoln. of racemic phenylglycidic acid esters for, diltiazem synthesis in relation to)

L5 ANSWER 8 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1990:440161 HCAPLUS
DN 113:40161
TI Preparation of (2S,3S)-threo-2-hydroxy-3-[(2-aminophenyl)thio]-3-(4-methoxyphenyl)propionic acid as an intermediate for the synthesis of diltiazem by optical resolution
IN Giordano, Claudio; Merli, Valeriano; Sagramora, Giorgio; Soriato,

Giorgio
PA Zambon Group S.p.A., Italy
SO Eur. Pat. Appl., 5 pp.
CODEN: EPXXDW
PI EP 353538 A2 900207
DS R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
AI EP 89-113135 890718
PRAI IT 88-21478 880726
DT Patent
LA English
OS MARPAT 113:40161
GI



II

AB The title compd. (I) is sepd. from its racemic mixt. by using the diol II (X = H, MeS, O2N, MeSO2) in the molar ratio of 0.5 with respect to the mixt. to be resolved. Racemic-I was treated with (1S,2S)-II (X = Me) to give the appropriate salt which was dild. in H2O and treated with HCl to give I.
IT **127981-91-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and decompn. of)
IC ICM C07C323-36
ICS C07C319-28; C07B057-00
CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
IT **127981-91-3P** 128001-76-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and decompn. of)

L5 ANSWER 9 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1989:219194 HCAPLUS
DN 110:219194
TI High-performance liquid chromatography method for assay of diltiazem hydrochloride and its related compounds in bulk drug and finished tablets
AU Lacroix, Pauline M.; Beaulieu, Normand; Cyr, Terry D.; Lovering, Edward G.
CS Bur. Drug Res., Health Prot. Branch, Ottawa, ON, K1A 0L2, Can.
SO J. Pharm. Sci. (1989), 78(3), 243-6
CODEN: JPMSAE; ISSN: 0022-3549
DT Journal
LA English
AB trans-Diltiazem and 7 known and several unknown related compds. were sepd. from diltiazem-HCl by HPLC. Min. detectable amts. were <0.1%, except for an intermediate which originates early in the synthetic process, for which the sensitivity is .apprx.2%. The relative std. deviation of the assay procedure is 0.15%. Total related compds. in 4 bulk drug and 4 tablet samples were <0.25%. The sp. rotation of 4 samples of diltiazem-HCl analyzed in duplicate was between +112 and +114.degree.. The UV absorption spectra of all compds. exhibited 2 max., one between 203 and 213 nm and the other between 230 and 244

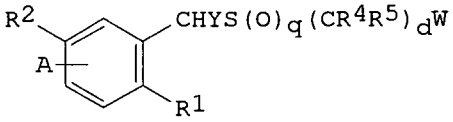
nm.

IT **120433-69-4**
RL: PROC (Process)
(sepn. of, from diltiazem, by HPLC)

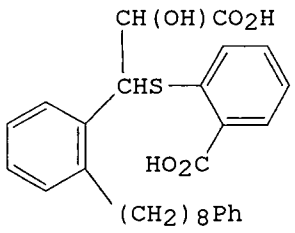
CC 64-3 (Pharmaceutical Analysis)
Section cross-reference(s): 63

IT 42399-40-6 42399-49-5 42399-55-3 84056-02-0 84645-12-5
84645-13-6 **120433-69-4**
RL: PROC (Process)
(sepn. of, from diltiazem, by HPLC)

L5 ANSWER 10 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1989:212373 HCAPLUS
DN 110:212373
TI Preparation of 2-hydroxy-3-[(carboxyphenyl)thio]propionic acids and analogs as leukotriene antagonists
IN Frazee, James Simpson; Gleason, John Gerald; Hall, Ralph Floyd
PA SmithKline Beckman Corp., USA
SO Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
PI EP 296732 A1 881228
DS R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
AI EP 88-305188 880607
PRAI US 87-66588 870624
DT Patent
LA English
OS MARPAT 110:212373
GI



I



II

AB The title compds. [I; A = H, C1-4 alkyl, C1-4 alkoxy, halo, OH, NO2, NH2; R1 = H, MTc(CH2)bLa (Q); R2 = A, Q; R4, R5 = H, C1-4 alkyl; L, T = O, S; M = C1-4 alkyl, CF3, HC.tplbond.C, CH2:CMe, furanyl, thienyl, cyclohexyl, (un)substituted Ph; W = 2-carboxy-4-oxo-8-propyl-4H-1-benzopyran-7-yl, (un)substituted Ph, pyridinyl, pyrimidinyl; Y = R3CO, Z(CH2)p(CHX)n; R3 = C1-6 alkoxy, aryloxy, OH, NH2; X = H, C1-4 alkyl, C1-4 alkoxy, OH, F; Z = R3CO, tetrazolyl; a, c, n, = 0, 1; b = 3-14; d = 0-6; p, q = 0-2] and their pharmaceutically acceptable salts were prepd. as leukotriene antagonists. HO(CH2)4CH.tplbond.CH was esterified with 4-MeC6H4SO2Cl and treated with PhC.tplbond.CH to give PhC.tplbond.C(CH2)4C.tplbond.CH. The latter was arylated with 2-BrC6H4CHO and the product was hydrogenated to give 2-(8-phenyloctyl)benzaldehyde which was condensed with ClCH2CO2Me in

the presence of NaOMe to give Me trans-2,3-epoxy-3-[2-(8-phenyloctyl)phenyl]propionate. The latter was treated with 2-HSC6H4CO2H in MeOH in the presence of Et3N and the product sapond. to give title compd. II. II inhibited leukotriene-induced contraction of guinea pig tracheal tissue prepns. with -log KB of 5.5. An aerosol soln. for nebulizer use was prepd. from 1-10 mg II and isotonic saline soln.

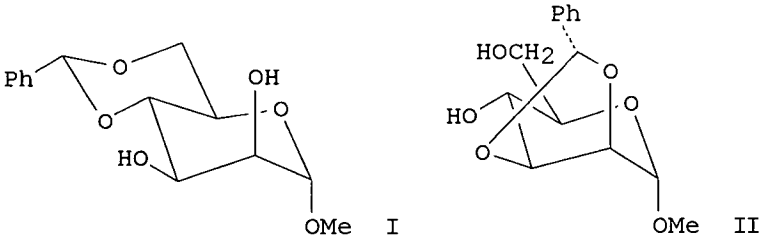
IT **120427-55-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as leukotrienes antagonist)

IC ICM C07C149-40
ICS C07C149-273; C07C149-36; A61K031-19

CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1

IT **120427-55-6P** 120427-56-7P 120427-57-8P 120427-58-9P
120427-59-0P 120427-60-3P 120427-61-4P 120427-62-5P
120427-63-6P 120427-64-7P 120427-65-8P 120427-66-9P
120427-67-0P 120427-68-1P 120427-69-2P 120427-70-5P
120427-71-6P 120457-38-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as leukotrienes antagonist)

L5 ANSWER 11 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1988:549900 HCAPLUS
DN 109:149900
TI The selective monobenzylidenation of some monosaccharides and their derivatives with .alpha.,.alpha.-dimethoxytoluene
AU Patroni, Joseph J.; Stick, Robert V.; Skelton, Brian W.; White, Allan H.
CS Sch. Chem., Univ. West. Australia, Nedlands, 6009, Australia
SO Aust. J. Chem. (1988), 41(1), 91-102
CODEN: AJCHAS; ISSN: 0004-9425
DT Journal
LA English
OS CASREACT 109:149900
GI



I

II

AB The treatment of a no. of monosaccharides and their derivs. with .alpha.,.alpha.-dimethoxytoluene and an acid catalyst in DMF at about 80.degree. can lead to selective benzylidenation, e.g., Me .alpha.-D-mannopyranoside gives mainly Me 4,6-O-benzylidene-.alpha.-D-mannoside (I), together with 2 other minor 2,3-monobenzylidene

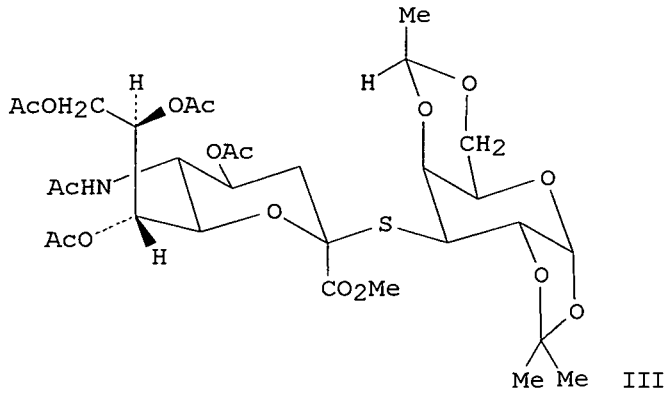
derivs. and 2 minor 2,3:4,6-dibenzylidene derivs. The treatment of various other pyranoses and pyranosides is also described. In addn. a 1H NMR study of the acid transformation of some of the above .alpha.-D-mannosides is reported, together with the single-crystal x-ray diffraction structure of Me (S)-2,3-O-benzylidene-.alpha.-D-mannopyranoside (II).

IT **55651-99-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

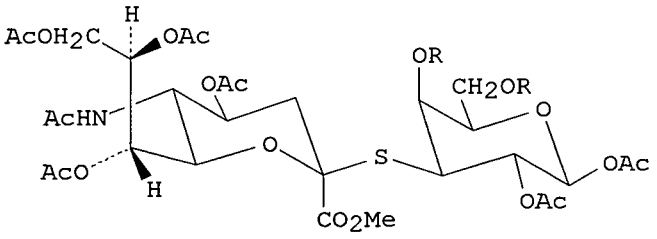
CC 33-3 (Carbohydrates)
Section cross-reference(s): 75

IT 3162-96-7P 4288-93-1P 14086-06-7P 14155-23-8P 17063-22-8P
30688-66-5P 40653-36-9P 40653-37-0P **55651-99-5P**
73395-15-0P 85761-43-9P 116562-85-7P 116562-86-8P
116562-87-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L5 ANSWER 12 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1988:75759 HCAPLUS
DN 108:75759
TI Thioglycosides of N-acetylneuraminic acid. Part 4. Synthesis of 3-S-(5-acetamido-3,5-dideoxy-D-glycero-.alpha.-D-galacto-2-nonulopyranosylonic acid)-3-thio-galactopyranose derivatives
AU Kanie, Osamu; Nakamura, Junko; Itoh, Yukiyasu; Kiso, Makoto; Hasegawa, Akira
CS Dep. Agric. Chem., Gifu Univ., Gifu, 501-11, Japan
SO J. Carbohydr. Chem. (1987), 6(1), 117-28
CODEN: JCACDM; ISSN: 0732-8303
DT Journal
LA English
OS CASREACT 108:75759
GI



III



IV

AB 3-S-.alpha.-D-Neuraminyl-(2.fwdarw.3)-D-galactose derivs. were prepd. As the glycosyl acceptors, 4,6-O-ethylidene-1,2-O-isopropylidene-3-O-trifluoromethanesulfonyl-.alpha.-D-gulopyranose (I) and 1,2-di-O-acetyl-4,6-O-isopropylidene-3-O-trifluoromethanesulfonyl-.beta.-D-gulopyranose (II) were prepd. from 4,6-O-ethylidene-1,2-O-isopropylidene-.alpha.-D-galactopyranose in several steps. Condensation of I or II with the sodium salt of Me 5-acetamido-4,7,8,9-tetra-O-acetyl-3,5-dideoxy-2-thio-D-glycero-.alpha.-D-galacto-2-nonulopyranosonate gave the corresponding 3-S-(N-acetyl-.alpha.-D-neuraminyl)-3-thio-D-galactose derivs. III and IV (R2 = Me2C). The latter was converted, via O-deisopropylidenation and subsequent acetylation, into the desired product IV (R = Ac).

IT **112670-08-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acetylation of)

CC 33-8 (Carbohydrates)

IT **112670-08-3P** 112670-15-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acetylation of)

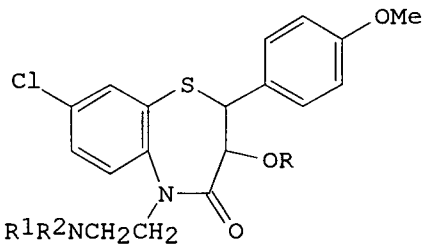
L5 ANSWER 13 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1986:627147 HCAPLUS
DN 105:227147
TI Studies in sugar chemistry. Part III. Regioselective heterogeneous O-deacylation of polyacylated sugars
AU Herzig, Jacob; Nudelman, Abraham
CS Teva Pharm. Ind. Ltd., Petach Tiqwa, Israel
SO Carbohydr. Res. (1986), 153(1), 162-7

CODEN: CRBRAT; ISSN: 0008-6215
DT Journal
LA English
OS CASREACT 105:227147
AB Methanolysis of polyacylated sugars is catalyzed by MgO or Al2O3. MgO is a mild, nonselective deacylating agent, whereas the reactivity of Al2O3 may be modulated. By choosing the appropriate catalyst and conditions, deacylation at the anomeric position may be readily effected regioselectively. Thus, MgO-catalyzed methanolysis of 1,2,3-tri-O-acetyl-4,6-O-ethylidene-.beta.-D-glucopyranose (I) 30 min at room temp. gave 93% 4,6-O-ethylidene-D-glucopyranose whereas Al2O3-catalyzed methanolysis of I 10h at 60.degree. gave 61% 2,3-di-O-acetyl-4,6-O-ethylidene-D-glucopyranose.
IT **105453-42-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by O-deacylation, catalysts for)
CC 33-1 (Carbohydrates)
IT 50-99-7P, preparation 57-50-1P, preparation 58-86-6P, preparation 709-50-2P 1824-94-8P 55018-54-7P 105453-33-6P 105453-34-7P 105453-35-8P 105453-37-0P 105453-38-1P 105453-39-2P 105453-40-5P 105453-41-6P **105453-42-7P** 105453-43-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by O-deacylation, catalysts for)

L5 ANSWER 14 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1986:110035 HCAPLUS
DN 104:110035
TI Studies in sugar chemistry. 2. A simple method for O-deacylation of polyacylated sugars
AU Herzig, Jacob; Nudelman, Abraham; Gottlieb, Hugo E.; Fischer, Bilha
CS Teva Pharm. Ind. Ltd., Petach Tiqva, Israel
SO J. Org. Chem. (1986), 51(5), 727-30
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 104:110035; CJACS
AB Total solvolytic O-deacylation of polyacylated sugars is readily accomplished upon stirring for 15 min-6 h a soln. of a sugar in MeOH in the presence of a catalytic amt. of cyanide. The reaction proceeds in high yields, under neutral conditions, at room temp. The overall rate of the reaction, readily followed by observing the changes in the 1H 300 MHz NMR spectra, is greatly influenced by the substituent at the anomeric position in the order of 1-OH .mchgt. 1-OAc .mchgt..mchgt. 1-OR.
IT **100021-32-7**
RL: RCT (Reactant)
(intermediate, in O-deacylation of, triacetyl deriv. with potassium cyanide and methanol)
CC 33-1 (Carbohydrates)
IT **100021-32-7** 100021-33-8
RL: RCT (Reactant)
(intermediate, in O-deacylation of, triacetyl deriv. with potassium cyanide and methanol)

L5 ANSWER 15 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1985:542026 HCAPLUS

DN 103:142026
TI 8-Chloro-1,5-benzothiazepine derivatives
IN Takeda, Mikio; Ohishi, Tokuro; Nakajima, Hiromichi; Nagao, Taku
PA Tanabe Seiyaku Co., Ltd. , Japan
SO Eur. Pat. Appl., 61 pp.
CODEN: EPXXDW
PI EP 127882 A1 841212
DS R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
AI EP 84-106187 840530
PRAI GB 83-15364 830603
GB 84-983 840114
DT Patent
LA English
GI



I

AB Title compds. I (R = H, alkyl, acyl; R1, R2 = alkyl) were prepd. Thus, (+)-cis-2-(4-methoxyphenyl)-3-hydroxy-8-chloro-2,3-dihydro-1,5-benzothiazepin-4(5H)-one, prepd. in 4 steps from 5,2-Cl(H2N)C6H3SH and Me (.+-.)-trans-3-(4-methoxyphenyl)glycidate, was alkylated with Me2NCH2CH2Cl.HCl to give (+)-cis-I (R = H, R1 = R2 = Me), which was acetylated with Ac2O/pyridine to give (+)-cis-I (R = Ac, R1 = R2 = Me) (II). II maleate at 30 mg/kg orally to spontaneously hypertensive rats decreased systolic blood pressure by .gtoreq.60 mm Hg at both 1 and 4 h after dosing. The cerebral vasodilating activity of II.HCl was 25-fold that of papaverine.
IT **96192-69-7P 96192-70-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and decompn. of)
IC C07D281-10; A61K031-55
CC 28-22 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 96054-28-3P 96054-30-7P **96192-69-7P 96192-70-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and decompn. of)

L5 ANSWER 16 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1982:545161 HCAPLUS
DN 97:145161
TI Amino sugars. 132. Preparation of a glycosyl chloride suitable for synthesis of N-glycoprotein "core" pentasaccharide
AU Liu, Charng Ming; Warren, Christopher D.; Blieszner, Kathleen C.; Jeanloz, Roger W.
CS Dep. Biol. Chem., Harvard Med. Sch., Boston, MA, 02114, USA

SO Carbohydr. Res. (1982), 104(2), C20-C22
CODEN: CRBRAT; ISSN: 0008-6215
DT Journal
LA English
AB 4-O-Benzyl-3-O-(2-butenyl)-6-O-(tert-butyldiphenylsilyl)-2-O-(p-nitrobenzoyl)-.alpha.-D-glycopyranosyl chloride, suitable for the synthesis of N-glycoprotein core pentasaccharide, was prepd. from 3-O-(2-butenyl)-D-glucose in 9 steps.
IT **83158-08-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acetylation of)
CC 33-2 (Carbohydrates)
IT **83158-08-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acetylation of)

L5 ANSWER 17 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1975:156596 HCAPLUS
DN 82:156596
TI Dibutylstannylene derivatives of sugar
AU David, Serge; Thieffry, Annie
CS Lab. Chim. Org. Multifonct., Univ. Paris-Sud, Orsay, Fr.
SO C. R. Hebd. Seances Acad. Sci., Ser. C (1974), 279(25), 1045-7
CODEN: CHDCAQ
DT Journal
LA French
GI For diagram(s), see printed CA Issue.
AB Seven diols I, .alpha.- and .beta.-II, III, (R = H) .alpha.- and .beta.-IV (R1 = R2 = H, R3 = Me; R1 = Me, R2 = R3 = H) were treated with Bu2SnO. The cis diols I and .alpha.-IV (R = R2 = H, R3 = Me) gave 30% of the dibutylstannylenes I (RR = SnBu2) and .alpha.-IV (RR2 = SnBu2, R3 = Me). The trans diols II, III, and .alpha.- and .beta.-IV (R1 = Me, R2 = R3 = H) gave 8-63% II and III (RR = SnBu2) and IV (R1 = Me, R2R3 = SnBu2).
IT **55651-99-5**
RL: RCT (Reactant)
(reaction with dibutyltin oxide, stannylenes by)
CC 33-2 (Carbohydrates)
IT 3162-96-7 10368-81-7 14155-23-8 53429-46-2 **55651-99-5**
55700-61-3 55700-62-4
RL: RCT (Reactant)
(reaction with dibutyltin oxide, stannylenes by)

L5 ANSWER 18 OF 18 HCAPLUS COPYRIGHT 1997 ACS
AN 1973:466331 HCAPLUS
DN 79:66331
TI Synthesis of 1,5-benzothiazepine derivatives. IV. Resolution of dl-cis-3-acetoxy-5-[2-(dimethylamino)ethyl]-2,3-dihydro-2-(p-methoxyphenyl)-1,5-benzothiazepin-4(5H)-one hydrochloride
AU Inoue, Hirozumi; Takeo, Satoshi; Kawazu, Mitsutaka; Kugita, Hiroshi
CS Org. Chem. Res. Lab., Tanabe Seiyaku Co., Ltd., Toda, Japan
SO Yakugaku Zasshi (1973), 93(6), 729-32
CODEN: YKKZAJ
DT Journal
LA Japanese
GI For diagram(s), see printed CA Issue.
AB Prepn. by cyclization of cinchonidine-resolved I of

(+)-cis-3-acetoxy-5-[2-(dimethylamino)ethyl]-2,3-dihydro-2-(p-methoxyphenyl)-1,5-benzothiazepin-4(5H)-one [(+)-II].HCl with potent coronary vasodilatory activity is described. Attempted resolution of II with various optically active acids was unsuccessful.

IT **42399-56-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

CC 28-24 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 107-99-3P 33286-22-5P 42399-40-6P 42399-41-7P 42399-44-0P
42399-45-1P 42399-46-2P 42399-47-3P 42399-48-4P 42399-49-5P
42399-50-8P 42399-51-9P 42399-53-1P 42399-54-2P
42399-56-4P 42399-57-5P 42489-24-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

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FILE 'CAOLD' ENTERED AT 09:52:37 ON 22 MAY 1997

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L6 ANSWER 1 OF 3 COPYRIGHT 1997 ACS
AN CA58:9223c CAOLD
IT 100323-59-9 **103101-90-2** 106740-81-2

L6 ANSWER 2 OF 3 COPYRIGHT 1997 ACS
AN CA58:1531g CAOLD
IT 98693-62-0 **101173-91-5** 105042-76-0

L6 ANSWER 3 OF 3 COPYRIGHT 1997 ACS
AN CA57:11292c CAOLD
IT **101173-91-5 103101-90-2**